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<u>AMENDMENTS TO THE CLAIMS</u>

Claim 1 (Original). A compound of formula (I):

wherein:

m and n are independently 1 to 4;

R¹ is hydrogen, alkyl, carboxyalkyl, aryl, aralkyl, alkylcarbonyl, aryloxyalkylcarbonyl, carboxyalkylcarbonyl, alkoxycarbonylalkylcarbonyl, alkoxycarbonyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, cycloalkylcarbonyl, haloalkoxycarbonyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, alkoxycarbonylaminocarbonyl, or heterocyclylcarbonyl;

R² is hydrogen, alkyl, aryl, aralkyl, alkylsulfonylalkyl, aralkoxyalkyl, hydroxyalkyl, aminoalkyl, haloalkylsulfonylaminoalkyl, carboxyalkylthioalkyl, alkoxycarbonylalkyl, carboxyalkyl, alkoxycarbonylalkyl, aralkoxycarbonylalkyl, carboxyalkoxycarbonylalkyl, aralkoxycarbonylalkyl, aralkoxycarbonylalkyl, aminocarbonylalkyl, aralkoxycarbonylaminoalkyl, alkoxycarbonylalkylaminocarbonylalkyl, carboxyalkylaminocarbonylalkyl, (alkoxycarbonylalkyl)(alkyl)aminocarbonylalkyl, or heterocyclylalkyl;

R³ is aryl or aryloxy each independently optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, -R⁸-C(O)R⁷, -R⁸-N(R⁷)₂, -R⁸-N(R⁷)C(O)OR⁸, -R⁸-N(R⁷)-S(O)₂-R⁷, and -R⁸-C[N(R⁷)₂]-C(O)OR⁷;

or R³ is aralkyl or aralkoxy, wherein the alkyl radical in the aralkyl or aralkoxy substituent is optionally substituted by one or more substituents selected from the group consisting of halo, cyano, nitro, -R³-OR³, -R³-C(O)OR³, -R³-C(O)N(R³)₂, -R³-C(O)R³, -R³-N(R³)₂, -R³-N(R³)C(O)R³, and -R³-N(R³)C(O)OR³, and wherein the aryl radical in the aralkyl or aralkoxy substituent is independently optionally substituted by one or more

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substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, $-R^8-OR^7$, $-R^8-C(O)OR^7$, $-R^8-C(O)N(R^7)_2$, $-R^8-N(R^7)C(O)R^7$, $-R^8-N(R^7)C(O)OR^9$, $-R^8-N(R^7)-S(O)_2-R^7$, and $-R^8-C[N(R^7)_2]-C(O)OR^7$:

each R⁴ is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclylalkoxy;

each R⁵ is independently selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;

R⁶ is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl; each R⁷ is hydrogen, alkyl, aryl, aralkyl, or haloalkyl; each R⁸ is a bond or a straight or branched alkylene chain; and each R⁹ is hydrogen, alkyl, aralkyl or haloalkyl; as a single stereoisomer, a mixture of individual stereoisomers, or a racemic mixture; or a pharmaceutically acceptable salt thereof.

Claim 2 (Original). The compound of Claim 1 wherein:

m is 1;

n is 1 or 2;

R¹ is hydrogen, aryl, aralkyl, or alkoxycarbonyl;

R² is hydrogen, carboxyalky!, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

R³ is anyl optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)OR⁸, -R⁸-

 $-R^8-C(O)N(R^7)_2, \ -R^8-C(O)R^7, \ -R^8-N(R^7)_2, \ -R^8-N(R^7)C(O)R^7, \ and \ -R^8-N(R^7)C(O)OR^9;$

each R⁴ is is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino,

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hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclylalkoxy;

R⁵ is hydrogen;

R⁶ is hydrogen or alkyl;

each R7 is hydrogen, alkyl, aryl, aralkyl, or haloalkyl,

each R8 is a bond or a straight or branched alkylene chain; and

R9 is hydrogen, alkyl, aralkyl or haloalkyl.

Claim 3 (Original). The compound of Claim 2 wherein:

m is 1;

n is 1 or 2;

R1 is hydrogen or alkoxycarbonyl;

R² is hydrogen, carboxyalkyl, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

R³ is anyl optionally substituted by one or more substituents selected from the group consisting of carboxy or alkoxycarbonyl;

each R⁴ is is independently selected from the group consisting of hydrogen, alkyl, halo, or haloalkyl;

R5 is hydrogen; and

R⁶ is hydrogen.

Claim 4 (Presently Amended). The compound of Claim 4, namely, 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(3-carboxy)phenylquinoline in trifluoreacetic-acid, according to Claim 3.

Claim 5 (Original). The compound of Claim 1 wherein:

m is 1;

n is 1 or 2:

R1 is hydrogen, aryl, aralkyl, or alkoxycarbonyl;

R² is hydrogen, carboxyalkyl, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

 R^3 is aryloxy optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, $-R^8$ - OR^7 , $-R^8$ - $O(O)R^7$, and $-R^8$ - $O(O)R^7$;

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each R⁴ is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclylalkoxy;

R⁵ is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;

R⁶ is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl;

each R7 is hydrogen, alkyl, aryl, aralkyl, or haloalkyl;

each R8 is a bond or a straight or branched alkylene chain; and

R⁹ is hydrogen, alkyl, aralkyl or haloalkyl.

Claim 6 (Original). The compound of Claim 5 wherein:

m is 1;

n is 1 or 2:

R¹ is hydrogen or alkoxycarbonyl;

R² is hydrogen, carboxyalkyl, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

R³ is aryloxy optionally substituted by one or more substituents selected from the group consisting of alkyl, tetrazolyl, -R⁸-C(O)OR⁷, -R⁸-N(R⁷)₂, -R⁸-N(R⁷)-S(O)₂-R⁷, and -R⁸-C[N(R⁷)₂]-C(O)OR⁷;

each R⁴ is is independently selected from the group consisting of hydrogen, alkyl, halo, or haloalkyl;

R⁵ is hydrogen;

R⁶ is hydrogen;

each R7 is hydrogen, alkyl, aryl, aralkyl, or haloalkyl; and

each R⁸ is a bond or a straight or branched alkylene chain.

Claim 7 (Presently Amended). The compound of Claim 6 selected from the group consisting of the following:

2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(3-carboxy)phenoxyquinoline in-2,2,2-trifluoro-1,1-ethanediol;

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- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(2-carboxy)phenoxyquinoline in 2,2,2-trifluoro 1,1-ethanediol;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(2-amino-5-carboxy)phenoxyquinoline in 2,2,2-trifluoro-1,1-ethanediol;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(4-carboxy)phenoxyquinoline in 2,2,2-trifluoro-1,1-ethonediol;
- 2-[1\$-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(3-carboxymethyl)phenoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(3-(1-amino-1-carboxy)methyl)phenoxyquinoline in-trifluoroacetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyi]aminocarbonyl-4-(3-(2-amino-2-carboxy)ethyl)phenoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(2-methyl-5-carboxy)phenoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]amlnocarbonyl-4-(5-carboxy-2-diethylamlnomethyl)phenoxyquinoline in-trifluoroacetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(3-tetrazol-5-yl)phenoxyquinoline in 2,2,2-trifluoro-1,1-ethanediol;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(3-trifluoromethylsulfonylamino)phenoxyquinoline in trifluoroacetic acid; and
- 2-[1\$-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-7-methyl-4-(3-carboxy)phenoxyquinoline in trifluoroacetic-acid.

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Claim 8 (Original). The compound of Claim 1 wherein m is 1;

n is 1 or 2:

R1 is hydrogen, aryl, aralkyl, or alkoxycarbonyl;

R² is hydrogen, carboxyalkyl, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

R³ is aralkyl wherein the alkyl radical in the aralkyl substituent is optionally substituted by one or more substituents selected from the group consisting of halo, cyano, nitro, -R³-OR³, -R³-C(O)OR³, -R³-C(O)N(R³)₂, -R³-C(O)R³, -R³-N(R³)₂, -R³-N(R³)C(O)R³, and -R³-N(R³)C(O)OR³), and wherein the aryl radical in the aralkyl substituent is independently optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R³-OR³, -R³-C(O)OR³, -R³-C(O)N(R³)₂, -R³-C(O)R³, -R³-N(R³)₂, -R³-N(R³)₂, -R³-N(R³)C(O)OR³, -R³-N(R³)-S(O)₂-R³, and -R³-C[N(R³)₂]-C(O)OR³;

each R⁴ is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclylalkoxy;

R⁵ is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;

R⁶ is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl;

each R⁷ is hydrogen, alkyl, aryl, aralkyl, or haloalkyl;

each R8 is a bond or a straight or branched alkylene chain; and

R⁹ is hydrogen, alkyl, aralkyl or haloalkyl.

Claim 9 (Original). The compound of Claim 1 wherein:

m is 1;

n is 1 or 2;

R¹ is hydrogen, aryl, aralkyl, or alkoxycarbonyl;

R² is hydrogen, carboxyalkyl, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

R³ is analkoxy wherein the alkyl radical in the analkyl substituent is not optionally substituted and wherein the aryl radical in the aralkoxy substituent is optionally substituted by

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one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, $-R^8-OR^7$, $-R^8-C(O)OR^7$, $-R^8-C(O)N(R^7)_2$, $-R^8-N(R^7)C(O)R^7$, $-R^8-N(R^7)C(O)CR^9$, $-R^8-N(R^7)C(O)_2-R^7$, and $-R^8-C[N(R^7)_2]-C(O)CR^7$;

each R⁴ is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclylalkoxy:

R⁵ is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;

R8 is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl;

each R7 is hydrogen, alkyl, aryl, aralkyl, or haloalkyl;

each R8 is a bond or a straight or branched alkylene chain; and

R⁹ is hydrogen, alkyl, aralkyl or haloalkyl.

Claim 10 (Original). The compound of Claim 9 wherein:

m is 1;

n is 1 or 2;

R¹ is hydrogen, aryl, aralkyl, or alkoxycarbonyl;

R² is hydrogen, carboxyalkyl, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

R³ is aralkoxy wherein the aryl radical in the aralkoxy substituent is optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, and -R⁸-N(R⁷)₂;

each R⁴ is independently selected from the group consisting of hydrogen, alkyl, alkoxy, halo, or haloalkyl;

R⁵ is hydrogen;

R⁶ is hydrogen;

each R⁷ is hydrogen, alkyl, aryl, aralkyl, or haloalkyl; and

each R8 is a bond or a straight or branched alkylene chain.

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- Claim 11 (Presently Amended). The compound of Claim 10 selected from the group consisting of the following:
- 2-[(4-(ethoxycarbonyl)piperazin-1-yl)carbonylmethyl]aminocarbonyl-4-benzyloxyquinoline;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-benzyloxycarbonylpropyl]aminocarbonyl-4-benzyloxyquinoline;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-benzyloxyquinoline;
- 2-[1-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-benzyloxycarbonylpropyl]aminocarbonyl-4-benzyloxy-8-methoxyquinoline;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-benzyloxy-8-methoxyquinoline;
- 2-[(4-(ethoxycarbonyl)piperazin-1-yl)carbonylmethyl]aminocarbonyl-4-(4-methoxycarbonyl)benzyloxyquinoline;
- 2-[(4-(ethoxycarbonyl)piperazin-1-yl)carbonylmethyl]aminocarbonyl-4-(4-carboxy)benzyloxyquinoline;
- 2-[(4-(ethoxycarbonyl)piperazin-1-yl)carbonylmethyl]aminocarbonyl-4-(3-methoxycarbonyl)benzyloxyquinoline;
- 2-[(4-(ethoxycarbonyl)piperazin-1-yl)carbonylmethyl]aminocarbonyl-4-(3-carboxy)benzyloxyquinoline;
- 2-[1S-(4-(3-methylphenyl)piperazin-1-yl)carbonyl-3-(1,1-dimethylethoxycarbonyl)propyl]aminocarbonyl-4-benzyloxyquinoline; and
- 2-[1S-(4-(3-methylphenyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-benzyloxyquinoline.

Claim 12 (Original). The compound of Claim 1 wherein:

m is 1;

Ņ,

n is 1 or 2;

R¹ is hydrogen, aryl, aralkyl, or alkoxycarbonyl;

R² is hydrogen, carboxyalkyl, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

R³ is aralkoxy wherein the alkyl radical in the aralkoxy substituent is substituted by one or more substituents selected from the group consisting of halo, cyano, nitro, -R8-OR7, -R8-C(O)OR7, -R8-C(O)N(R7)2, -R8-C(O)R7, -R8-N(R7)2, -R8-N(R7)C(O)R7, and -R9-N(R7)C(O)OR9, and wherein the aryl radical in the aralkoxy substituent is

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optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, $-R^6$ -OR⁷, $-R^8$ -C(O)OR⁷, $-R^8$ -C(O)R(R⁷)₂, $-R^8$ -C(O)R(R⁷)₂, $-R^8$ -N(R⁷)C(O)R⁷, $-R^8$ -N(R⁷)C(O)OR⁹, $-R^8$ -N(R⁷)-S(O)₂-R⁷, and $-R^8$ -C[N(R⁷)₂]-C(O)OR⁷;

each R⁴ is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclylalkoxy;

R⁵ is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;

R⁸ is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl; each R⁷ is hydrogen, alkyl, aryl, aralkyl, or haloalkyl; each R⁸ is a bond or a straight or branched alkylene chain; and R⁸ is hydrogen, alkyl, aralkyl or haloalkyl.

Claim 13 (Original). The compound of Claim 12 wherein:

m is 1;

n is 1 or 2;

R1 is hydrogen, aryl, aralkyl, or alkoxycarbonyl;

R² is hydrogen, carboxyalkyl, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

R³ is aralkoxy wherein the alkyl radical in the aralkoxy substituent is substituted by -R⁸-C(O)OR⁷, and wherein the aryl radical in the aralkoxy substituent is optionally substituted by one or more substituents selected from the group consisting of halo and -R⁸-OR⁷;

each R⁴ is independently selected from the group consisting of hydrogen, alkyl, alkoxy, halo, haloalkyl, amino, monoalkylamino, or dialkylamino;

R⁵ is hydrogen;

R⁶ is hydrogen:

each R7 is hydrogen, alkyl, aryl, aralkyl, or haloalkyl; and

each R8 is a bond or a straight or branched alkylene chain.

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- Claim 14 (Presently Amended). The compound of Claim 13 selected from the group consisting of the following:
- 2-[1S-(4-(ethoxycarbonyl)piperazln-1-yl)carbonyl-3-(1,1-dimethylethoxycarbonyl)propyl]aminocarbonyl-4-(1-phenyl-1-methoxycarbonyl)methoxyquinoline;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(1-phenyl-1-methoxycarbonyl)methoxyquinoline;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(1-phenyl-1-carboxy)methoxyquinoline;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-7-chloro-4-(1-carboxy-1-phenyl)methoxyquinoline in trifluoroacetic-acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-naphth-1-yl-1-carboxy)methoxyquinoline;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-chloro-8-fluoro-4-(1-methoxycarbonyl-1-phenyl)methoxyquinoline in-acetic-acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-chloro-8-fluoro-4-(1-carboxy-1-phenyl)methoxyquinoline in-acetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-7-methyl-6-fluoro-4-(1-carboxy-1-(2-fluoro)phenyl)methoxyquinoline in-trifluoroacetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-7-methyl-4-(1-ethoxycarbonyl-1-phenyl)methoxyquinoline in trifluoroacetic-acid;
- 2-[(4-(ethoxycarbonyl)piperazin-1-yl)carbonylmethyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline;
- 2-[1\$-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-7-methyl-6-fluoro-4-(1-carboxy-1-(4-chloro)phenyl)methoxyquinoline in-trifluoroacetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-7-methyl-6-fluoro-4-(1-carboxy-1-(3-methoxy)phenyl)methoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6,8-difluoro-4-(1-carboxy-1-phenyl)methoxyquinoline in-trifluoroacetic-acid;

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- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-dimethylamino-4-(1-phenyl-1-carboxy)methoxyquinoline;
- 2-[1\$-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-7-chloro-6-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-7-methyl-6-chloro-4-(1-phenyl-1-carboxy)methoxyquinoline in-trifluoroacetic acid;
- 2-[1S-(4-(1,1-dimethylethoxycarbonyl)piperazin-1-yl)carbonyl-3methoxycarbonylpropyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline;
- 2-[1S-(4-(1,1-dimethylethoxycarbonyl)piperazin-1-yl)carbonyl-3carboxypropyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline;
- 2-[1S-(4-(methoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline Intrifluoroacetic acid;
- 2-[1S-(4-(1,1-dimethylethylamlnocarbonyl)piperazin-1-yl)carbonyl-3carboxypropyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(furan-2-ylcarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(3-methylphenyl)piperazin-1-yl)carbonyl-3-(1,1-dimethylethoxycarbonyl)propyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline;
- 2-[1S-(4-(3-methylphenyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline in trifluoroacetic acid;
- 2-[1S-(4-(phenyl)piperazin-1-yl)carbonyl-3-(1,1-dimethylethoxycarbonyl)propyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline; and
- 2-[1S-(4-(phenyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-6-fluoro-7-methyl-4-(1-phenyl-1-carboxy)methoxyquinoline in trifluoroacetic acid.
- Claim 15 (Original). A pharmaceutical composition useful in treating a mammal having a disease-state characterized by thrombotic activity, which composition comprises a pharmaceutically acceptable excipient and a compound of formula (I):

$$\mathbb{R}^{1} - \mathbb{N} \stackrel{(\mathbb{R}^{5})_{m}}{\longrightarrow} \mathbb{N} \stackrel{\mathbb{R}^{6}}{\longrightarrow} \mathbb{N}$$

wherein:

m and n are independently 1 to 4;

R¹ is hydrogen, aikyi, carboxyalkyi, aryi, aralkyi, aikyicarbonyi, aryioxyalkyicarbonyi, carboxyalkyicarbonyi, alkoxycarbonyialkyicarbonyi, alkoxycarbonyi, aryioxycarbonyi, aralkoxycarbonyi, cycloalkyicarbonyi, haloalkoxycarbonyi, aminocarbonyi, monoalkyiaminocarbonyi, dialkyiaminocarbonyi, alkoxycarbonyiaminocarbonyi, or heterocyclyicarbonyi;

R² is hydrogen, alkyl, aryl, aralkyl, alkylsulfonylalkyl, aralkoxyalkyl, hydroxyalkyl, aminoalkyl, haloalkylsulfonylaminoalkyl, carboxyalkylthioalkyl, alkoxycarbonylalkylthioalkyl, carboxyalkoxyalkyl, alkoxycarbonylalkyl, aralkoxycarbonylalkyl, carboxyalkoxycarbonylalkyl, alkoxycarbonylalkyl, aminocarbonylalkyl, aralkoxycarbonylaminoalkyl, alkoxycarbonylalkylaminocarbonylalkyl, carboxyalkylaminocarbonylalkyl, (alkoxycarbonylalkyl)(alkyl)aminocarbonylalkyl, (carboxyalkyl)(alkyl)aminocarbonylalkyl, or heterocyclylalkyl;

R³ is aryl or aryloxy each independently optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, -R⁸-C(O)R⁷, -R⁸-N(R⁷)C(O)OR⁹, -R⁸-N(R⁷)-S(O)₂-R⁷, and -R⁸-C[N(R⁷)₂]-C(O)OR⁷;

or R³ is aralkyl or aralkoxy, wherein the alkyl radical in the aralkyl or aralkoxy substituent is optionally substituted by one or more substituents selected from the group consisting of halo, cyano, nitro, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, -R⁸-C(O)R⁷, -R⁸-N(R⁷)₂, -R⁸-N(R⁷)C(O)R⁷, and -R⁹-N(R⁷)C(O)OR⁹), and wherein the aryl radical in the aralkyl or aralkoxy substituent is independently optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, -R⁸-C(O)R⁷, -R⁸-N(R⁷)₂,

-R⁸-N(R⁷)C(O)R⁷, -R⁸-N(R⁷)C(O)OR⁹, -R⁸-N(R⁷)-S(O)₂-R⁷, and -R⁸-C[N(R⁷)₂]-C(O)OR⁷;

each R⁴ is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthlo, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclylalkoxy;

each R⁵ is independently selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;

R⁶ is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl; each R⁷ is hydrogen, alkyl, aryl, aralkyl, or haloalkyl; each R⁶ is a bond or a straight or branched alkylene chain; and each R⁹ is hydrogen, alkyl, aralkyl or haloalkyl; as a single stereoisomer, a mixture of individual stereoisomers, or a racemic mixture; or a pharmaceutically acceptable salt thereof.

Claim 16 (Original). A method of treating a disease-state characterized by thrombotic activity, which method comprises administering to a mammal having a disease-state characterized by thrombotic activity a therapeutically effective amount of a compound of formula (i):

wherein:

m and n are independently 1 to 4;

R¹ is hydrogen, alkyl, carboxyalkyl, aryl, aralkyl, alkylcarbonyl, aryloxyalkylcarbonyl, carboxyalkylcarbonyl, alkoxycarbonylalkylcarbonyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, cycloalkylcarbonyl,

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- haloalkoxycarbonyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, alkoxycarbonylaminocarbonyl, or heterocyclylcarbonyl;
- R² is hydrogen, alkyl, aryl, aralkyl, alkylsulfonylalkyl, aralkoxyalkyl, hydroxyalkyl, aminoalkyl, haloalkylsulfonylaminoalkyl, carboxyalkylthioalkyl, alkoxycarbonylalkylthioalkyl, carboxyalkoxyalkyl, alkoxycarbonylalkyl, aralkoxycarbonylalkyl, aralkoxycarbonylalkyl, aralkoxycarbonylalkyl, aminocarbonylalkyl, aralkoxycarbonylaminoalkyl, alkoxycarbonylalkylaminocarbonylalkyl, carboxyalkylaminocarbonylalkyl, (alkoxycarbonylalkyl)(alkyl)aminocarbonylalkyl, (carboxyalkyl)(alkyl)aminocarbonylalkyl, or heterocyclylalkyl;
- R³ is aryl or aryloxy each independently optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)OR⁷, -R⁸-N(R⁷)C(O)OR⁸, -R⁸-N(R⁷)-S(O)₂-R⁷, and -R⁸-C[N(R⁷)₂]-C(O)OR⁷;
- or R³ is aralkyl or aralkoxy, wherein the alkyl radical in the aralkyl or aralkoxy substituent is optionally substituted by one or more substituents selected from the group consisting of halo, cyano, nitro, -R8-OR7, -R8-C(O)OR7, -R8-C(O)N(R7)2, -R8-C(O)R7, -R8-N(R7)2, -R8-N(R7)C(O)R7, and -R9-N(R7)C(O)OR9), and wherein the aryl radical in the aralkyl or aralkoxy substituent is independently optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R8-OR7, -R8-C(O)OR7, -R8-C(O)N(R7)2, -R8-C(O)R7, -R8-N(R7)2, -R8-N(R7)C(O)R7, -R8-N(R7)C(O)OR7, -R8-N(R7)C(O)OR7, -R8-N(R7)C(O)OR7, -R8-N(R7)C(O)OR7;
- each R⁴ is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclylalkoxy;
- each R⁵ is independently selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;
- R⁶ is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl;

each R⁷ is hydrogen, alkyl, aryl, aralkyl, or haloalkyl; each R⁸ is a bond or a straight or branched alkylene chain; and each R⁹ is hydrogen, alkyl, aralkyl or haloalkyl; as a single stereoisomer, a mixture of individual stereoisomers, or a racemic mixture; or a pharmaceutically acceptable salt thereof.

Claim 17 (Presently Amended). A compound of formula (II):

$$\mathbb{R}^{1} - \mathbb{N} \longrightarrow \mathbb{N}^{2} \longrightarrow \mathbb{N}^{3} \longrightarrow \mathbb{$$

wherein:

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m and n are independently 1 to 4;

R¹ is hydrogen, alkyl, carboxyalkyl, aryl, aralkyl, alkylcarbonyl, aryloxyalkylcarbonyl, carboxyalkylcarbonyl, alkoxycarbonylalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, cycloalkylcarbonyl, haloalkoxycarbonyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, alkoxycarbonylaminocarbonyl, or heterocyclylcarbonyl;

R² is hydrogen, alkyl, aryl, aralkyl, alkylsulfonylalkyl, aralkoxyalkyl, hydroxyalkyl, aminoalkyl, haloalkylsulfonylaminoalkyl, carboxyalkylthioalkyl, alkoxycarbonylalkyl, carboxyalkyl, (carboxy)(hydroxy)alkyl, carboxyalkoxyalkyl, alkoxycarbonylalkyl, aralkoxycarbonylalkyl, carboxyalkoxycarbonylalkyl, alkoxycarbonylalkyl, aminocarbonylalkyl, aralkoxycarbonylaminoalkyl, alkoxycarbonylalkylaminocarbonylalkyl, carboxyalkylaminocarbonylalkyl, (alkoxycarbonylalkyl)(alkyl)aminocarbonylalkyl, or heterocyclylalkyl;

R³ is heteroaryl optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R³-OR³, -R³-C(O)OR³, -R³-C(O)N(R³)₂, -R³-C(O)R³, -R³-N(R³)₂, -R³-N(R³)_C(O)OR³, -R³-N(R³)_C(O)OR³, -R³-N(R³)_C(O)OR³, and -R³-C[N(R³)₂]-C(O)OR³;

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or R³-is heteroarylalkoxy, wherein the alkoxy radical in the heteroarylalkoxy substituent is optionally substituted by one or more substituents selected from the group-consisting of halo, cyano, nitro, R³-OR², R³-C(O)OR², R³-C(O)N(R²)₂, R³-C(O)R², R³-N(R²)₂, R³-N(R²)C(O)R², and R³-N(R²)C(O)OR³), and wherein the heteroaryl radical in the heteroarylalkoxy substituent is independently optionally substituted by one-or-more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, R³-OR², R³-C(O)OR², R³-C(O)N(R²)₂, R³-C(O)R², R³-N(R²)C(O)OR³;

each R⁴ is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclylalkoxy;

each R⁵ is independently selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;

R⁶ is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl; each R⁷ is hydrogen, alkyl, aryl, aralkyl, or haloalkyl; each R⁸ is a bond or a straight or branched alkylene chain; and each R⁹ is hydrogen, alkyl, aralkyl or haloalkyl; as a single stereoisomer, a mixture of individual stereoisomers, or a racemic mixture; or a pharmaceutically acceptable salt thereof.

Claim 18 (Original). The compound of Claim 17 wherein:

m is 1;

n is 1 or 2;

R1 is hydrogen, aryl, aralkyl, or alkoxycarbonyl;

R² is hydrogen, carboxyalkyl, alkoxycarbonylalkyl or aralkoxycarbonylalkyl;

R³ is heteroaryl optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)N(R⁷)₂, and -R⁸-N(R⁷)₂;

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each R⁴ is independently selected from the group consisting of hydrogen, alkyl, alkoxy, halo, haloalkyl, amino, monoalkylamino, or dialkylamino;

R⁵ is hydrogen;

R⁶ is hydrogen;

each R⁷ is hydrogen, alkyl, aryl, aralkyl, or haloalkyl; and each R⁸ is a bond or a straight or branched alkylene chain.

Claim 19 (Presently Amended). The compound of Claim 18, namely, 2-[1S-(4-(ethoxycarbonyl)piperazin-1-yl)carbonyl-3-carboxypropyl]aminocarbonyl-4-(1,2,3,4-tetrahydroisoquinolin-2-yl)quinoline in-trifluoroacetic acid, according to Claim 18.

Claim 20 (Canceled).

Claim 21 (Canceled).

Claim 22 (Presently Amended). A pharmaceutical composition useful in treating a mammal having a disease-state characterized by thrombotic activity, which composition comprises a pharmaceutically acceptable excipient and a compound of formula (II):

$$\mathbb{R}^{1} - \mathbb{N} \longrightarrow \mathbb{R}^{6} \longrightarrow \mathbb{N}$$

wherein:

m and n are independently 1 to 4;

R¹ is hydrogen, alkyl, carboxyalkyl, aryl, aralkyl, alkylcarbonyl, aryloxyalkylcarbonyl, carboxyalkylcarbonyl, alkoxycarbonylalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, cycloalkylcarbonyl, haloalkoxycarbonyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, alkoxycarbonylaminocarbonyl, or heterocyclylcarbonyl;

R² is hydrogen, alkyl, aryl, aralkyl, alkylsulfonylalkyl, aralkoxyalkyl, hydroxyalkyl, aminoalkyl, haloalkylsulfonylaminoalkyl, carboxyalkylthioalkyl, alkoxycarbonylalkylthioalkyl.

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carboxyalkyl, (carboxy)(hydroxy)alkyl, carboxyalkoxyalkyl, alkoxycarbonylalkyl, aralkoxycarbonylalkyl, carboxyalkoxycarbonylalkyl, alkoxycarbonylalkyl, aminocarbonylalkyl, aralkoxycarbonylalkyl, alkoxycarbonylalkylaminocarbonylalkyl, carboxyalkylaminocarbonylalkyl, (alkoxycarbonylalkyl)(alkyl)aminocarbonylalkyl, (carboxyalkyl)(alkyl)aminocarbonylalkyl, or heterocyclylalkyl;

- R³ is heteroaryl optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R⁸-OR⁷, -R⁸-C(O)OR⁷, -R⁸-C(O)R⁷, -R⁸-N(R⁷)₂, -R⁸-N(R⁷)_C(O)OR⁹, -R⁸-N(R⁷)-S(O)₂-R⁷, and -R⁸-C[N(R⁷)₂]-C(O)OR⁷;
- or R³ is heteroarylalkoxy, wherein the alkoxy radical in the heteroarylalkoxy substituent is optionally substituted by one or more substituents selected from the group consisting of halo, cyano, nitro, -R³-OR², -R³-C(O)OR², -R³-C(O)N(R²)₂, -R³-N(R²)₂, -R³-N(R²)C(O)R², and -R³-N(R²)C(O)OR³), and wherein the heteroaryl-radical in the heteroarylalkoxy substituent is independently optionally-substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R³-OR², -R³-C(O)OR², -R³-C(O)N(R²)₂, -R³-C(O)R², -R³-N(R²)₂, -
- each R⁴ is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclylalkoxy;
- each R⁵ is independently selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;

R⁶ is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl; each R⁷ is hydrogen, alkyl, aryl, aralkyl, or haloalkyl; each R⁸ is a bond or a straight or branched alkylene chain; and each R⁹ is hydrogen, alkyl, aralkyl or haloalkyl; as a single stereoisomer, a mixture of individual stereoisomers, or a racemic mixture;

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or a pharmaceutically acceptable salt thereof.

Claim 23 (Presently Amended). A method of treating a disease-state characterized by thrombotic activity, which method comprises administering to a mammal having a disease-state characterized by thrombotic activity a therapeutically effective amount of a compound of formula (II):

$$\mathbb{R}^{1}$$
 \mathbb{N}^{1} \mathbb{N}^{1} \mathbb{N}^{2} \mathbb{N}^{2} \mathbb{N}^{3} \mathbb{N}^{3} \mathbb{N}^{4} \mathbb{N}^{4} \mathbb{N}^{4}

wherein:

m and n are independently 1 to 4;

R¹ is hydrogen, alkyl, carboxyalkyl, aryl, aralkyl, alkylcarbonyl, aryloxyalkylcarbonyl, carboxyalkylcarbonyl, alkoxycarbonylalkylcarbonyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, cycloalkylcarbonyl, haloalkoxycarbonyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, alkoxycarbonylaminocarbonyl, or heterocyclylcarbonyl;

R² is hydrogen, alkyl, aryl, aralkyl, alkylsulfonylalkyl, aralkoxyalkyl, hydroxyalkyl, aminoalkyl, haloalkylsulfonylaminoalkyl, carboxyalkylthioalkyl, alkoxycarbonylalkyl, carboxyalkoxyalkyl, alkoxycarbonylalkyl, aralkoxycarbonylalkyl, carboxyalkoxycarbonylalkyl, alkoxycarbonylalkyl, aminocarbonylalkyl, aralkoxycarbonylalkylaminocarbonylalkyl, carboxyalkylaminocarbonylalkyl, (alkoxycarbonylalkyl)(alkyl)aminocarbonylalkyl, (carboxyalkyl)(alkyl)aminocarbonylalkyl, or heterocyclylalkyl;

R³ is heteroaryl optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, -R⁵-OR⁻, -R⁵-C(O)OR⁻, -R⁵-C(O)N(R⁻)₂, -R⁵-C(O)R⁻, -R⁵-N(R⁻)C(O)R⁻, -R⁵-N(R⁻)C(O)CR⁻, and -R⁵-C[N(R⁻)₂]-C(O)OR⁻;

or R³-is-heteroarylalkoxy, wherein the alkoxy radical in the heteroarylalkoxy substituent is optionally-substituted by one or more substituents-selected from

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the-group consisting of halo, cyano, nitro, $-R^8 - OR^7$, $-R^8 - C(O)OR^7$, $-R^8 - C(O)N(R^7)_2$, $-R^8 - C(O)R^7$, $-R^8 - N(R^7)_2$, $-R^8 - N(R^7)_2$, $-R^8 - N(R^7)_2$, $-R^8 - N(R^7)_2$, and $-R^9 - N(R^7)_2$. And wherein the heteroaryl radical in the heteroarylalkoxy substituent is independently optionally substituted by one or more substituents selected from the group consisting of alkyl, halo, haloalkyl, cyano, nitro, tetrazolyl, $-R^8 - OR^7$, $-R^8 - C(O)OR^7$, $-R^8 - C(O)N(R^7)_2$, $-R^8 - C(O)R^7$, $-R^8 - N(R^7)_2$, $-R^8 - N(R^7)_2$, $-R^8 - N(R^7)_2$, $-R^8 - N(R^7)_3$, $-R^8 - N(R^7)_4$, and $-R^8 - C(O)OR^7$;

each R⁴ is independently selected from the group consisting of hydrogen, alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, hydroxy, cyano, alkylthio, carboxy, alkoxycarbonyl, aminocarbonyl, alkylcarbonyl, nitro, amino, monoalkylamino, dialkylamino, carboxyalkylamino, alkylcarbonylamino, di(alkylcarbonyl)amino, hydroxyalkyl, dialkylaminoalkyl, carboxyalkoxy, alkoxycarbonylalkoxy, dialkylaminoalkoxy, and heterocyclylalkoxy;

each R⁵ is independently selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, aralkyl, carboxy, alkoxycarbonyl, aralkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl;

R⁶ is hydrogen, alkyl, carboxyalkyl, or alkoxycarbonylalkyl; each R⁷ is hydrogen, alkyl, aryl, aralkyl, or haloalkyl; each R⁸ is a bond or a straight or branched alkylene chain; and each R⁹ is hydrogen, alkyl, aralkyl or haloalkyl; as a single stereoisomer, a mixture of individual stereoisomers, or a racemic mixture; or a pharmaceutically acceptable salt thereof.